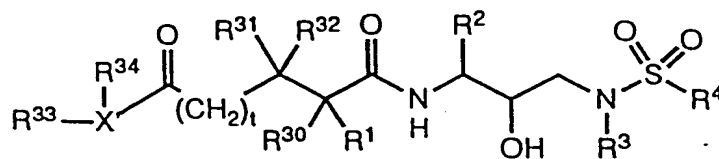


- heterocycloalkylalkyl, aryl, aralkyl, heteroaralkyl, aminoalkyl and mono- and disubstituted aminoalkyl radicals, wherein said substituents are selected from alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroaralkyl, heterocycloalkyl, and heterocycloalkylalkyl radicals, or in the case of a disubstituted aminoalkyl radical, said substituents along with the nitrogen atom to which they are attached, form a heterocycloalkyl or a heteroaryl radical;
- 5 X' represents N, O, and C(R¹⁷) wherein R¹⁷ represents hydrogen and alkyl radicals;
- 10 Y and Y' independently represent O, S and NR¹⁵ wherein R¹⁵ represents hydrogen and radicals as defined for R³;
- R⁴ represents radicals as defined by R³ except for hydrogen;
- 20 R⁶ represents hydrogen and alkyl radicals;
- R³⁰, R³¹ and R³² represent radicals as defined for R¹, or one of R¹ and R³⁰ together with one of R³¹ and R³² and the carbon atoms to which they are attached form a cycloalkyl radical; or R³⁰ and R³² together with the carbon atoms to which they are attached form a three to six-membered cycloalkyl radical; and
- 25 R³³ and R³⁴ independently represent hydrogen, radicals as defined for R³, or R³³ and R³⁴ together with X' represent cycloalkyl, aryl, heterocyclyl and heteroaryl radicals, provided that when X' is O, R³⁴ is absent.

2. Compound represented by the formula:

35



or a pharmaceutically acceptable salt, prodrug or ester thereof wherein:

5

t represents either 0 or 1;

R¹ represents hydrogen, -CH₂SO₂NH₂, -CO₂CH₃, -CONHCH₃,
-CON(CH₃)₂, -CH₂C(O)NHCH₃, -CH₂C(O)N(CH₃)₂, -CONH₂,

- 10 -C(CH₃)₂(SH), -C(CH₃)₂(SCH₃), -C(CH₃)₂(S[O]CH₃),
-C(CH₃)₂(S[O]₂CH₃), alkyl, haloalkyl, alkenyl, alkynyl and
cycloalkyl radicals and amino acid side chains selected
from asparagine, S-methyl cysteine and the corresponding
sulfoxide and sulfone derivatives thereof, glycine,
15 leucine, isoleucine, allo-isoleucine, tert-leucine,
phenylalanine, ornithine, alanine, histidine, norleucine,
glutamine, valine, threonine, serine, aspartic acid, beta-
cyano alanine, and allothreonine side chains;

- 20 R² represents alkyl, aryl, cycloalkyl, cycloalkylalkyl and
aralkyl radicals, which radicals are optionally
substituted with a group selected from alkyl and halogen
radicals, -NO₂, -C≡N, CF₃, -OR⁹, -SR⁹, wherein R⁹
represents hydrogen and alkyl radicals;

25

- R³ represents hydrogen, alkyl, haloalkyl, alkenyl,
alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl,
cycloalkylalkyl, heterocycloalkyl, heteroaryl,
heterocycloalkylalkyl, aryl, aralkyl, heteroaralkyl,
30 aminoalkyl and mono- and disubstituted aminoalkyl
radicals, wherein said substituents are selected from
alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl,
heteroaryl, heteroaralkyl, heterocycloalkyl, and
heterocycloalkylalkyl radicals, or in the case of a

disubstituted aminoalkyl radical, said substituents along with the nitrogen atom to which they are attached, form a heterocycloalkyl or a heteroaryl radical;

5 X' represents N, O, and C(R¹⁷) wherein R¹⁷ represents hydrogen and alkyl radicals;

R⁴ represents radicals as defined by R³ except for hydrogen;

10

R³⁰, R³¹ and R³² represent radicals as defined for R¹, or one of R¹ and R³⁰ together with one of R³¹ and R³² and the carbon atoms to which they are attached form a cycloalkyl radical; or R³¹ and R³² together with the carbon atoms to which they are attached form a three to six-membered cycloalkyl radical; and

15

R³³ and R³⁴ independently represent hydrogen and radicals as defined for R³, or R³³ and R³⁴ together with X' represent cycloalkyl, aryl, heterocyclyl and heteroaryl radicals, provided that when X' is O, R³⁴ is absent.

20

3. Compound of Claim 2 wherein t is O and X' is O.

25

4. Compound of Claim 2 wherein t is O.

5. Compound of Claim 2 wherein X' represents N and O.

30

6. Compound of Claim 2 wherein t is 1.

7. Compound of Claim 2 wherein R¹ represents hydrogen, alkyl, alkenyl, alkynyl, aralkyl, and hydroxyl radicals, and radicals selected from -(CH₂)C(O)CH₃, -CH₂SO₂NH₂, -CONHCH₃, -CON(CH₃)₂, -CH₂C(O)NHCH₃, -CH₂C(O)N(CH₃)₂, -CONH₂, -C(CH₃)₂(SH), -C(CH₃)₂(SCH₃), -C(CH₃)₂(S[O]CH₃) and -C(CH₃)₂(S[O]₂CH₃).

35

8. Compound of Claim 2 wherein R¹ represents hydrogen, methyl, ethyl, propargyl, t-butyl, isopropyl, sec-butyl, benzyl and phenylpropyl radicals;

5

9. Compound of Claim 2 wherein R¹ represents a methyl radical.

10. Compound of Claim 2 wherein R¹ represents an alkyl radical when t is 0.

10

11. Compound of Claim 2 wherein R¹ and R³¹ are both hydrogen and R³⁰ and R³² are both methyl.

15

12. Compound of Claim 2 wherein R¹, R³¹ and R³² are methyl and R³⁰ is hydrogen.

20

13. Compound of Claim 2 wherein R¹ is methyl and R³⁰, R³¹ and R³² are hydrogen.

14. Compound of Claim 2 wherein R³⁰ is hydrogen and R¹, R³¹ and R³² are methyl.

15. Compound of Claim 2 wherein R¹ and R³¹ are hydrogen and R³⁰ and R³² together with the carbon atoms to which they are attached form a three- to six-membered cycloalkyl radical.

25

16. Compound of Claim 2 wherein t is 0 and X' is N.

30

17. Compound of Claim 2 wherein t is 1 and X' is N.

35

18. Compound of Claim 2 wherein R² represents alkyl, cycloalkylalkyl and aralkyl radicals, which radicals are optionally substituted with halogen radicals and radicals represented by the formula -OR⁹ and -SR⁹

wherein R⁹ represents alkyl radicals.

19. Compound of Claim 2 wherein R² represents alkyl, cycloalkylalkyl and aralkyl radicals.

5

20. Compound of Claim 2 wherein R² represents aralkyl radicals.

21. Compound of Claim 2 wherein R² represents
10 CH₃SCH₂CH₂-, iso-butyl, n-butyl, benzyl, 4-fluorobenzyl, 2-naphthylmethyl and cyclohexylmethyl radicals.

22. Compound of Claim 2 wherein R² represents an n-butyl and iso-butyl radicals.

15

23. Compound of Claim 2 wherein R² represents benzyl, 4-fluorobenzyl and 2-naphthylmethyl radicals.

24. Compound of Claim 2 wherein R² represents
20 a cyclohexylmethyl radical.

25. Compound of Claim 2 wherein R³ and R⁴ independently represent alkyl, alkenyl, alkoxyalkyl, hydroxyalkyl, haloalkyl, cycloalkyl, cycloalkylalkyl,
25 heterocycloalkyl, heterocycloalkylalkyl, heteroaryl, aryl, aralkyl and heteroaralkyl radicals.

26. Compound of Claim 2 wherein R⁴ represents an aryl radical.

30

27. Compound of Claim 2 wherein R³ and R⁴ independently represent alkyl and aryl radicals.

28. Compound of Claim 2 wherein R³ and R⁴
35 independently represent alkyl and hydroxyalkyl radicals.

29. Compound of Claim 2 wherein R³ and R⁴ independently represent alkyl, cycloalkyl and

cycloalkylalkyl radicals.

30. Compound of Claim 2 wherein R³ and R⁴
independently represent alkyl, heterocycloalkyl and
5 heterocycloalkylalkyl radicals.

31. Compound of Claim 2 wherein R³ and R⁴
independently represent alkyl, aryl and aralkyl radicals.

10 32. Compound of Claim 2 wherein R⁴ represents
alkyl and aryl radicals.

33. Compound of Claim 2 wherein R³ represents
alkyl radicals having from about 2 to about 5 carbon
15 atoms.

34. Compound of Claim 2 wherein R³ represents
n-pentyl, n-hexyl, n-propyl, i-butyl, neo-pentyl, i-amyl,
and n-butyl radicals.

20 35. Compound of Claim 2 wherein R³ and R⁴
independently represent alkyl radicals having from about
2 to about 5 carbon atoms, cycloalkylalkyl radicals, aryl
radicals, aralkyl radicals, heterocycloalkylalkyl
25 radicals, heteroaryl radicals and heteroaralkyl radicals.

36. Compound of Claim 2 wherein R³ represents
benzyl, para-fluorobenzyl, para-methoxybenzyl, para-
methylbenzyl, and 2-naphthylmethyl radicals and R⁴
30 represents phenyl.

37. Compound of Claim 2 wherein R³ is
cyclohexylmethyl or cyclohexyl and R⁴ is phenyl or
methyl.

35 38. Compound of Claim 2 wherein R³ is i-amyl
and R⁴ is phenyl or methyl.

39. Compound of Claim 2 wherein R³ is i-butyl and R⁴ is phenyl or methyl.

40. Compound of Claim 2 wherein R³ is n-butyl and R⁴ is phenyl or methyl.

41. Compound of Claim 2 wherein R³ is neopentyl and R⁴ is phenyl or methyl.

42. Compound of Claim 2 wherein R⁴ represents alkyl and cycloalkyl radicals.

43. Compound of Claim 2 wherein R⁴ represents aryl and heteroaryl radicals.

44. Compound of Claim 2 wherein R⁴ represents alkyl radicals.

45. Compound of Claim 2 wherein R³ represents heteroaralkyl radicals and R⁴ is an aryl or alkyl radical.

46. Compound of Claim 2 wherein R³ is a p-fluorobenzyl radical and R⁴ is a phenyl radical.

47. Compound of Claim 2 wherein R³ is a 4-pyridylmethyl radical or its N-oxide and R⁴ is a phenyl radical.

48. Compound of Claim 2 wherein R⁴ is selected from methyl, phenyl, p-methoxyphenyl, p-fluorophenyl, p-aminophenyl and p-(acetylamino)phenyl.

49. Compound of Claim 2 wherein R³ represents isobutyl, isoamyl, n-propyl, cyclohexyl, cyclohexylmethyl and n-butyl radicals and R⁴ represents phenyl radicals and substituted phenyl radicals, wherein substituents of

the substituted phenyl radical are selected from chloro, fluoro, nitro, methoxy, and amino substituents.

50. Compound of Claim 2 wherein X' is nitrogen
5 and R³³ and R³⁴ independently represent hydrogen and alkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, aryl, aralkyl, heteroaryl and heteroaralkyl radicals.

10 51. Compound of Claim 2 wherein X' is nitrogen and R³³ and R³⁴ independently represent hydrogen and alkyl radicals.

15 52. Compound of Claim 2 wherein X' is nitrogen and R³³ and R³⁴ independently represent alkenyl and alkynyl radicals

20 53. Compound of Claim 2 wherein X' is nitrogen R³³ and R³⁴ independently represent hydroxyalkyl and alkoxyalkyl radicals.

54. Compound of Claim 2 wherein X' is nitrogen and R³³ and R³⁴ independently represent alkyl radicals.

25 55. Compound of Claim 2 wherein X' is nitrogen and R³³ and R³⁴ independently represent cycloalkyl and cycloalkylalkyl radicals.

30 56. Compound of Claim 2 wherein X' is nitrogen and R³³ and R³⁴ independently represent heteroaryl and heteroaralkyl radicals.

35 57. Compound of Claim 2 wherein X' is nitrogen and R³³ and R³⁴ independently represent heterocycloalkyl radicals.

58. Compound of Claim 2 wherein X' is nitrogen and R³³ and R³⁴ together with the nitrogen atom form a heterocyclyl or heteroaryl radical.

5 59. Compound of Claim 2 wherein X' is oxygen, R³⁴ is absent and R³³ represents hydrogen, alkyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, heterocycloalkyl, and heterocycloalkylalkyl radicals.

10

60. Compound of Claim 2 wherein X' is oxygen, R³⁴ is absent and R³³ represents alkyl, aralkyl, cycloalkylalkyl, heterocycloalkylalkyl and heteroaralkyl radicals.

15

61. Compound of Claim 2 wherein X' is oxygen, R³⁴ is absent and R³³ is an aralkyl radical.

20 62. Compound of Claim 2 wherein X' is oxygen, R³⁴ is absent and R³³ is hydrogen.

63. Compound of Claim 2 wherein t is 0 and R¹, R³⁰ and R³¹ are all hydrogen.

25 64. Compound of Claim 2 wherein X' is oxygen, R³⁴ is absent and R³³ is an alkyl radical.

65. Compound of Claim 2 wherein X' is oxygen, R³⁴ is absent and R³³ is a benzyl radical.

30

66. A pharmaceutical composition comprising a compound of Claim 1 and a pharmaceutically acceptable carrier.

35 67. A pharmaceutical composition comprising a compound of Claim 2 and a pharmaceutically acceptable carrier.

68. Method of inhibiting a retroviral protease comprising administering a protease inhibiting amount of a composition of Claim 66.

5 69. Method of Claim 68 wherein the retroviral protease is HIV protease.

10 70. Method of treating a retroviral infection comprising administering an effective amount of a composition of Claim 66.

71. Method of Claim 70 wherein the retroviral infection is an HIV infection.

15 72. Method for treating AIDS comprising administering an effective amount of a composition of Claim 66.

20 73. Method of inhibiting a retroviral protease comprising administering a protease inhibiting amount of a composition of Claim 67.

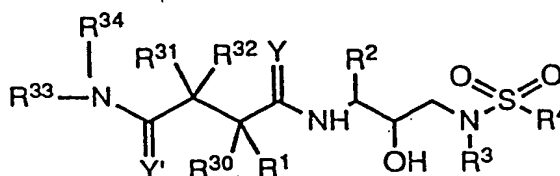
74. Method of Claim 73 wherein the retroviral protease is HIV protease.

25 75. Method of treating a retroviral infection comprising administering an effective amount of a composition of Claim 67.

30 76. Method of Claim 75 wherein the retroviral infection is an HIV infection.

77. Method for treating AIDS comprising administering an effective amount of a composition of
35 Claim 67.

78. Compound represented by the formula:



5 or a pharmaceutically acceptable salt, prodrug or ester thereof, wherein:

R¹ represents hydrogen, -CH₂SO₂NH₂, -CO₂CH₃, -CONHCH₃,
-CON(CH₃)₂, -CH₂C(O)NHCH₃, -CH₂C(O)N(CH₃)₂, -CONH₂,
10 -C(CH₃)₂(SH), -C(CH₃)₂(SCH₃), -C(CH₃)₂(S[O]CH₃),
-C(CH₃)₂(S[O]₂CH₃), alkyl, haloalkyl, alkenyl, alkynyl and
cycloalkyl radicals and amino acid side chains selected
from asparagine, S-methyl cysteine and the corresponding
sulfoxide and sulfone derivatives thereof, glycine,
15 leucine, isoleucine, allo-isoleucine, tert-leucine,
phenylalanine, ornithine, alanine, histidine, norleucine,
glutamine, valine, threonine, serine, aspartic acid, beta-
cyano alanine, and allothreonine side chains;

20 R² represents alkyl, aryl, cycloalkyl, cycloalkylalkyl, and aralkyl radicals, which radicals are optionally substituted with a group selected from halogen and alkyl radicals, -NO₂, -C≡N, CF₃, -OR⁹ and -SR⁹ wherein R⁹ represents hydrogen and alkyl radicals;

25 R³ represents hydrogen, alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heteroaryl, heterocycloalkylalkyl, aryl, aralkyl, heteroaralkyl, aminoalkyl and mono- and disubstituted aminoalkyl radicals, wherein said substituents are selected from
30 alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroaralkyl, heterocycloalkyl, and heterocycloalkylalkyl radicals, or in the case of a

disubstituted aminoalkyl radical, said substituents along with the nitrogen atom to which they are attached, form a heterocycloalkyl or a heteroaryl radical;

5 R⁴ represents radicals as defined by R³ except hydrogen;

R³⁰, R³¹ and R³² represent radicals as defined for R¹, or one of R¹ and R³⁰ together with one of R³¹ and R³² and the carbon atoms to which they are attached form a cycloalkyl
10 radical; and

R³³ and R³⁴ independently represent hydrogen and radicals as defined for R³, or R³³ and R³⁴ together with the nitrogen atom to which they are attached represent
15 heterocycloalkyl and heteroaryl radicals; and

Y and Y' independently represent O, S, and NR¹⁵ wherein R¹⁵ represents hydrogen and radicals as defined for R³.

20 79. Compound of Claim 78 wherein Y and Y' are O.

80. Compound of Claim 78 wherein R¹ represents hydrogen, alkyl, alkenyl, alkynyl, aralkyl, and hydroxyl
25 radicals, and radicals selected from -(CH₂)C(O)CH₃, -CH₂SO₂NH₂, -CONHCH₃, -CON(CH₃)₂, -CH₂C(O)NHCH₃, -CH₂C(O)N(CH₃)₂, -CONH₂, -C(CH₃)₂(SH), -C(CH₃)₂(SCH₃), -C(CH₃)₂(S[O]CH₃) and -C(CH₃)₂(S[O]₂CH₃).

30 81. Compound of Claim 78 wherein R¹ represents alkyl radicals having from 1 to about 4 carbon atoms and alkenyl radicals having from 3 to 8 carbon atoms.

82. Compound of Claim 78 wherein R¹ represents
35 hydrogen, methyl, ethyl, isopropyl, propargyl, t-butyl, sec-butyl, benzyl and phenylpropyl radicals.

83. Compound of Claim 78 wherein R¹ and R³¹ are both hydrogen and R³⁰ and R³² are both methyl.

84. Compound of Claim 78 wherein R¹, R³⁰, R³¹ and R³² are selected from hydrogen and methyl radicals.

85. Compound of Claim 78 wherein R¹ is methyl and R³⁰, R³¹ and R³² are hydrogen.

86. Compound of Claim 78 wherein R³⁰ is hydrogen and R¹, R³¹ and R³² are methyl.

87. Compound of Claim 78 wherein R¹ and R³¹ are hydrogen and R³⁰ and R³² together with the carbon atoms to which they are attached form a three- to six-membered cycloalkyl radical.

88. Compound of Claim 78 wherein R² represents alkyl, cycloalkylalkyl and aralkyl radicals, which radicals are optionally substituted with halogen radicals, and -C \equiv N, CF₃, and radicals represented by the formula -OR⁹ and -SR⁹ wherein R⁹ represents alkyl radicals.

89. Compound of Claim 78 wherein R² represents alkyl, cycloalkylalkyl and aralkyl radicals.

90. Compound of Claim 78 wherein R² represents aralkyl radicals.

91. Compound of Claim 78 wherein R² represents CH₃SCH₂CH₂-, iso-butyl, n-butyl, benzyl, 4-fluorobenzyl, 2-naphthylmethyl and cyclohexylmethyl radicals.

92. Compound of Claim 78 wherein R² represents an n-butyl and iso-butyl radicals.

93. Compound of Claim 78 wherein R² represents benzyl, 4-fluorobenzyl, and 2-naphthylmethyl radicals.

5 94. Compound of Claim 78 wherein R² represents a cyclohexylmethyl radical.

95. Compound of Claim 78 wherein R³ and R⁴ independently represent alkyl, haloalkyl, alkenyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, 10 heterocycloalkyl, heterocycloalkylalkyl, aryl, aralkyl, heteroaryl and heteroaralkyl radicals.

96. Compound of Claim 78 wherein R³ and R⁴ independently represent alkyl and aryl radicals. 15

97. Compound of Claim 78 wherein R³ and R⁴ independently represent alkyl and alkenyl radicals.

98. Compound of Claim 78 wherein R³ and R⁴ independently represent alkoxyalkyl and hydroxyalkyl radicals. 20

99. Compound of Claim 78 wherein R³ and R⁴ independently represent alkyl, cycloalkyl and 25 cycloalkylalkyl radicals.

100. Compound of Claim 78 wherein R³ and R⁴ independently represent alkyl, heterocycloalkyl and heterocycloalkylalkyl radicals. 30

101. Compound of Claim 78 wherein R³ and R⁴ independently represent alkyl, aryl and aralkyl radicals.

102. Compound of Claim 78 wherein R³ and R⁴ independently represent alkyl, cycloalkyl, 35 cycloalkylalkyl, heterocycloalkyl, heterocycloalkylalkyl, aryl, aralkyl, heteroaryl and heteroaralkyl radicals.

103. Compound of Claim 78 wherein R³ represents alkyl radicals having from about 2 to about 5 carbon atoms and R⁴ represents alkyl and aryl radicals.

5 104. Compound of Claim 96 wherein R⁴ represents methyl and phenyl radicals.

105.. Compound of Claim 78 wherein R³ and R⁴ independently represent alkyl radicals having from about
10 2 to about 5 carbon atoms, cycloalkylalkyl radicals, aryl and aralkyl radicals, heterocycloalkylalkyl radicals, heteroaryl and heteroaralkyl radicals.

106. Compound of Claim 78 wherein R³
15 represents benzyl, para-fluorobenzyl, para-methoxybenzyl, para-methylbenzyl, and 2-naphthylmethyl radicals and R⁴ represents a phenyl or substituted phenyl radical wherein said substituents are selected from chloro, fluoro, nitro, methoxy and amino substituents.

20 107. Compound of Claim 78 wherein R³ is cyclohexylmethyl and R⁴ is a phenyl or substituted phenyl radical wherein said substituents are selected from chloro, fluoro, nitro, methoxy and amino substituents.

25 108. Compound of Claim 78 wherein R³ is i-amyl and R⁴ is a phenyl or substituted phenyl radical wherein said substituents are selected from chloro, fluoro, nitro, methoxy and amino substituents.

30 109. Compound of Claim 78 wherein R³ is i-butyl and R⁴ is a phenyl or substituted phenyl radical wherein said substituents are selected from chloro, fluoro, nitro, methoxy and amino substituents.

35

110. Compound of Claim 78 wherein R³ is n-butyl or n-propyl and R⁴ is a phenyl or substituted phenyl radical wherein said substituents are selected from chloro, fluoro, nitro, methoxy and amino substituents.

111. Compound of Claim 78 wherein R³ is cyclohexyl and R⁴ is a phenyl or substituted phenyl radical wherein said substituents are selected from chloro, fluoro, nitro, methoxy and amino substituents.

112. Compound of Claim 78 wherein R⁴ represents alkyl radicals.

113. Compound of Claim 78 wherein R⁴ represents aryl and heteroaryl radicals.

114. Compound of Claim 78 wherein R⁴ represents alkyl radicals having from 1 to about 6 carbon atoms..

115. Compound of Claim 78 wherein R³ represents heteroaralkyl radicals and R⁴ is a phenyl or substituted phenyl radical wherein said substituents are selected from chloro, fluoro, nitro, methoxy and amino substituents.

116. Compound of Claim 78 wherein R³ is a p-fluorobenzyl radical and R⁴ is a phenyl or substituted phenyl radical wherein said substituents are selected from chloro, fluoro, nitro, methoxy and amino substituents.

117. Compound of Claim 78 wherein R³³ and R³⁴ independently represent hydrogen and alkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, aryl, aralkyl, heteroaryl and heteroaralkyl radicals.

118. Compound of Claim 78 R^{33} and R^{34} both represent hydrogen.

5 119. Compound of Claim 78 wherein R^{33} and R^{34} independently represent alkenyl and alkynyl radicals

10 120. Compound of Claim 78 wherein R^{33} and R^{34} independently represent hydroxyalkyl and alkoxyalkyl radicals.

 121. Compound of Claim 78 wherein R^{33} and R^{34} independently represent alkyl and aralkyl radicals.

15 122. Compound of Claim 78 wherein R^{33} and R^{34} independently represent cycloalkyl and cycloalkylalkyl radicals.

20 123. Compound of Claim 78 wherein R^{33} and R^{34} independently represent heteroaryl and heteroaralkyl radicals.

25 124. Compound of Claim 78 wherein R^{33} and R^{34} independently represent heterocycloalkyl radicals.

 125. Compound of Claim 78 wherein R^{33} and R^{34} together with the nitrogen atom form a heterocyclyl or heteroaryl radical.

30 126. A pharmaceutical composition comprising a compound of Claim 78 and a pharmaceutically acceptable carrier.

35 127 Method of inhibiting a retroviral protease comprising administering a protease inhibiting amount of a composition of Claim 126.

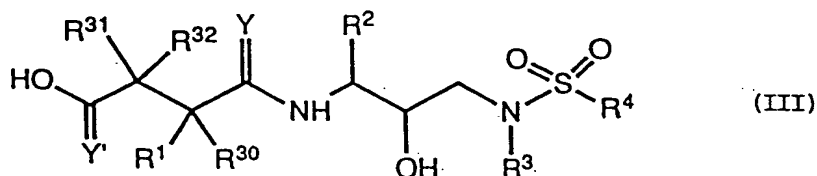
128. Method of Claim 127 wherein the retroviral protease is HIV protease.

129. Method of treating a retroviral infection comprising administering an effective amount of a composition of Claim 126.

130. Method of Claim 129 wherein the retroviral infection is an HIV infection.

131. Method for treating AIDS comprising administering an effective amount of a composition of Claim 126.

132. Compound represented by the formula:



or a pharmaceutically acceptable salt, prodrug or ester thereof, preferably wherein;

R¹ represents hydrogen, -CH₂SO₂NH₂, -CO₂CH₃, -CH₂CO₂CH₃, -CONHCH₃, -CON(CH₃)₂, -CH₂C(O)NHCH₃, -CH₂C(O)N(CH₃)₂, -CONH₂, -C(CH₃)₂(SH), -C(CH₃)₂(SCH₃), -C(CH₃)₂(S(O)CH₃), -C(CH₃)₂(S(O)₂CH₃), alkyl, haloalkyl, alkenyl, alkynyl and cycloalkyl radicals and amino acid side chains selected from asparagine, S-methyl cysteine and the corresponding sulfoxide and sulfone derivatives thereof, glycine, leucine, isoleucine, allo-isoleucine, tert-leucine, phenylalanine, ornithine, alanine, histidine, norleucine, glutamine, valine, threonine, serine, aspartic acid, beta-cyano alanine, and allothreonine side chains;

R² represents alkyl, aryl, cycloalkyl, cycloalkylalkyl and aralkyl radicals, which radicals are optionally substituted with a group selected from alkyl and halogen radicals, -NO₂, -C≡N, CF₃, -OR⁹, -SR⁹, wherein R⁹
5 represents hydrogen and alkyl radicals;

R³ represents hydrogen, alkyl, haloalkyl, alkenyl, alkynyl, hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heteroaryl,
10 heterocycloalkylalkyl, aryl, aralkyl, heteroaralkyl, aminoalkyl and mono- and disubstituted aminoalkyl radicals, wherein said substituents are selected from alkyl, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, heteroaryl, heteroaralkyl, heterocycloalkyl, and
15 heterocycloalkylalkyl radicals, or in the case of a disubstituted aminoalkyl radical, said substituents along with the nitrogen atom to which they are attached, form a heterocycloalkyl or a heteroaryl radical;

20 Y and Y' independently represent O, S and NR¹⁵ wherein R¹⁵ represents hydrogen and radicals as defined for R³;

R⁴ represents radicals as defined by R³ except for hydrogen; and

25

R³⁰, R³¹ and R³² represent radicals as defined for R¹, or one of R¹ and R³⁰ together with one of R³¹ and R³² and the carbon atoms to which they are attached form a cycloalkyl radical; or R³⁰ and R³² together with the carbon atoms to
30 which they are attached form a cycloalkyl radical.

133. Compound of Claim 132 wherein Y and Y' are O.

35

134. Compound of Claim 132 wherein Y and Y' are S.

135. Compound of Claim 132 wherein R¹

represents hydrogen and alkyl radicals having from 1 to about 4 carbon atoms, alkenyl, alkynyl, aralkyl radicals, hydroxyl radicals, and radicals selected from

- 5 $-(CH_2)C(O)_2CH_3$, $-CH_2SO_2NH_2$, $-CONHCH_3$, $-CON(CH_3)_2$,
 $-CH_2C(O)NHCH_3$, $-CH_2C(O)N(CH_3)_2$, $-CONH_2$, $-C(CH_3)_2(SH)$,
 $-C(CH_3)_2(SCH_3)$, $-C(CH_3)_2(S[O]CH_3)$ and $-C(CH_3)_2(S[O]_2CH_3)$.

- 10 136. Compound of Claim 132 wherein R^1 represents hydrogen, methyl, ethyl, propyl, benzyl, phenyl, propargyl, hydroxyl and radicals selected from $-CH_2CO_2CH_3$, $-CH_2CONH_2$ and $-CH_2COOH$, represented by the formula $CH_2C(O)R^*$ wherein R^* represents $-CH_3$, NH_2 and $-OH$.

- 15 137. Compound of Claim 132 wherein R^1 and R^{31} are both hydrogen and R^{30} and R^{32} are both methyl.

- 20 138. Compound of Claim 132 wherein R^{30} is hydrogen and R^1 , R^{31} and R^{32} are all methyl.

139. Compound of Claim 132 wherein R^{30} , R^{31} and R^{32} are hydrogen and R^1 is methyl.

- 25 140. Compound of Claim 132 wherein R^1 and R^{31} are both hydrogen and R^{30} and R^{32} together with the carbon atoms to which they are attached form a three to six-membered cycloalkyl radical.

- 30 141. Compound of Claim 132 wherein R^2 represents alkyl, cycloalkylalkyl and aralkyl radicals, which radicals are optionally substituted with halogen radicals and radicals represented by the formula $-OR^9$ and $-SR^9$ wherein R^9 represents alkyl radicals.

- 35 142. Compound of Claim 132 wherein R^2 represents alkyl, cycloalkylalkyl and aralkyl radicals.

143. Compound of Claim 132 wherein R^2

represents aralkyl radicals.

144. Compound of Claim 132 wherein R²
represents CH₃SCH₂CH₂-, iso-butyl, n-butyl, benzyl, 2-
5 naphthylmethyl and cyclohexylmethyl radicals.

145. Compound of Claim 132 wherein R²
represents an n-butyl and iso-butyl radicals.

10 146. Compound of Claim 132 wherein R²
represents benzyl, 4-fluorobenzyl, and 2-naphthylmethyl
radicals.

147. Compound of Claim 132 wherein R²
15 represents a cyclohexylmethyl radical.

148. Compound of Claim 132 wherein R³ and R⁴
independently represent alkyl, haloalkyl, alkenyl,
hydroxyalkyl, alkoxyalkyl, cycloalkyl, cycloalkylalkyl,
20 heterocycloalkyl, heterocycloalkylalkyl, aryl, aralkyl,
heteroaryl and heteroaralkyl radicals.

149. Compound of Claim 132 wherein R³ and R⁴
independently represent alkyl and aryl radicals.

25

150. Compound of Claim 132 wherein R³ and R⁴
independently represent alkyl radicals.

151. Compound of Claim 132 wherein R³ and R⁴
30 independently represent alkyl, cycloalkyl and
cycloalkylalkyl radicals.

152. Compound of Claim 132 wherein R³ and R⁴
independently represent alkyl, heterocycloalkyl and
35 heterocycloalkylalkyl radicals.

153. Compound of Claim 132 wherein R³ and R⁴
independently represent alkyl, aryl and aralkyl radicals.

154. Compound of Claim 132 wherein R³ and R⁴ independently represent alkyl, cycloalkyl, cycloalkylalkyl, heterocycloalkyl, heterocycloalkylalkyl, aryl, aralkyl, heteroaryl and heteroaralkyl radicals.

155. Compound of Claim 132 wherein R³ represents alkyl radicals having from about 2 to about 5 carbon atoms.

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156. Compound of Claim 132 wherein R³ represents n-propyl, i-butyl, neo-pentyl, n-pentyl, cyclohexyl, cyclohexylmethyl, n-hexyl, i-amyl, and n-butyl radicals.

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157. Compound of Claim 132 wherein R³ and R⁴ independently represent alkyl radicals having from about 2 to about 5 carbon atoms, cycloalkyl, cycloalkylalkyl radicals, aryl radicals, aralkyl radicals, heteroaryl radicals, heterocycloalkylalkyl radicals and heteroaralkyl radicals.

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158. Compound of Claim 132 wherein R³ represents benzyl, para-fluorobenzyl, para-methoxybenzyl, para-methylbenzyl, and 2-naphthylmethyl radicals and R⁴ represents a phenyl or substituted phenyl radical wherein said substituents are selected from chloro, fluoro, nitro, methoxy and amino substituents.

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159. Compound of Claim 132 wherein R³ is cyclohexylmethyl or cyclohexyl radical and R⁴ is a phenyl or substituted phenyl radical wherein said substituents are selected from chloro, fluoro, nitro, methoxy and amino substituents.

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160. Compound of Claim 132 wherein R³ is i-amyl or n-butyl and R⁴ is a phenyl or substituted phenyl radical wherein said substituents are selected from

chloro, fluoro, nitro, methoxy and amino substituents.

161. Compound of Claim 132 wherein R³ is i-butyl and R⁴ is a phenyl or substituted phenyl radical
5 wherein said substituents are selected from chloro, fluoro, nitro, methoxy and amino substituents.

162. Compound of Claim 132 wherein R³ is benzyl or p-fluorobenzyl and R⁴ is a phenyl or
10 substituted phenyl radical wherein said substituents are selected from chloro, fluoro, nitro, methoxy and amino substituents.

163. Compound of Claim 132 wherein R³ is neopentyl and R⁴ is a phenyl or substituted phenyl radical
15 wherein said substituents are selected from chloro, fluoro, nitro, methoxy and amino substituents.

164. Compound of Claim 132 wherein R⁴
20 represents a phenyl or substituted phenyl radical wherein said substituents are selected from chloro, fluoro, nitro, methoxy and amino substituents.

165. Compound of Claim 132 wherein R³
25 represents heteroaralkyl radicals and R⁴ is a phenyl or substituted phenyl radical wherein said substituents are selected from chloro, fluoro, nitro, methoxy and amino substituents.

166. Compound of Claim 132 wherein R³ is a p-fluorobenzyl radical and R⁴ is a phenyl or substituted
30 phenyl radical wherein said substituents are selected from chloro, fluoro, nitro, methoxy and amino substituents.

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167. A pharmaceutical composition comprising a compound of Claim 132 and a pharmaceutically acceptable carrier.

168. Method of inhibiting a retroviral protease comprising administering a protease inhibiting amount of a composition of Claim 167.

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169. Method of Claim 168 wherein the retroviral protease is HIV protease.

170. Method of treating a retroviral infection comprising administering an effective amount of a composition of Claim 167.

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171. Method of Claim 170 wherein the retroviral infection is an HIV infection.

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172. Method for treating AIDS comprising administering an effective amount of a composition of Claim 167.

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173. A compound of Claim 1 which is:

Butanediamide, N⁴-[2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-2,2,3-trimethyl-, [1S-[1R*(S*),2S*]]-

25

Butanoic acid, 4-[[2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo, phenylmethyl ester, [1S-[1R*(S*),2S*]]-

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- Butanoic acid, 4-[[2-hydroxy-3-[(3-methylbutyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo-, [1S-[1R*(S*),2S*]]-
- 5
- Butanediamide, N⁴-[2-hydroxy-3-[(2-methylpropyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]-2,2,3-trimethyl-, [1S-[1R*(S*),2S*]]-
- 10
- Butanoic acid, 4-[[2-hydroxy-3-[(2-methylpropyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo, phenylmethyl ester, [1S-[1R*(S*),2S*]]-
- 15
- Butanoic acid, 4-[[2-hydroxy-3-[(2-methylpropyl)(phenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo-, [1S-[1R*(S*),2S*]]-
- 20
- Butanediamide, N⁴-[2-hydroxy-3-[(3-methylbutyl)(4-methoxyphenylsulfonyl)amine]-1-(phenylmethyl)propyl]-2,2,3-trimethyl-, [1S-[1R*(S*),2S*]]-
- 25
- Butanoic acid, 4-[[2-hydroxy-3-[(3-methylbutyl)(4-methoxyphenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo, phenylmethyl ester, [1S-[1R*(S*),2S*]]-
- 30
- Butanoic acid, 4-[[2-hydroxy-3-[(3-methylbutyl)(4-methoxyphenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo-, [1S-[1R*(S*),2S*]]-
- 35
- Butanoic acid, 4-[[2-hydroxy-3-[(2-methylpropyl)(4-methoxyphenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo-, [1S-[1R*(S*),2S*]]

Butanoic acid, 4-[[2-hydroxy-3-[(2-methylpropyl)(4-methoxyphenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo, phenylmethyl ester, [1S-[1R*(S*),2S*]]-

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Butanediamide, N⁴-[2-hydroxy-3-[(2-methylpropyl)(4-methoxyphenylsulfonyl)amino]-1-(phenylmethyl)propyl]-2,2,3-trimethyl-, [1S-[1R*(S*), 2S*]]

- 10 Butanediamide, N⁴-[2-hydroxy-3-[(3-methylbutyl)(4-fluorophenylsulfonyl)amine]-1-(phenylmethyl)propyl]-2,2,3-trimethyl-, [1S-[1R*(S*),2S*]]-

- 15 Butanoic acid, 4-[[2-hydroxy-3-[(3-methylbutyl)(4-fluorophenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo, phenylmethyl ester, [1S-[1R*(S*),2S*]]-

- 20 Butanoic acid, 4-[[2-hydroxy-3-[(3-methylbutyl)(4-fluorophenylsulfonyl)amino]-1-(phenylmethyl)propyl]amino]-2,2,3-trimethyl-4-oxo-, [1S-[1R*(S*),2S*]]-